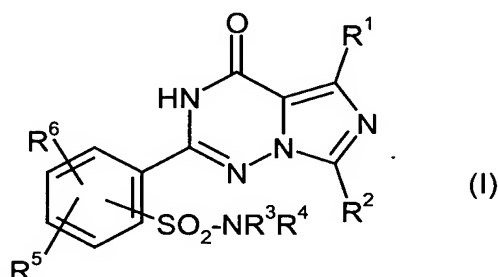


Patent Claims

1. Composition comprising at least one cGMP PDE inhibitor and at least one local anaesthetic, with the proviso that the local anaesthetic is not benzyl alcohol, where the cGMP PDE inhibitor is a compound of the formula (I)



in which

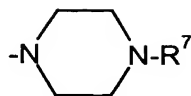
R^1 represents hydrogen or straight-chain or branched alkyl having up to 4 carbon atoms,

R^2 represents straight-chain alkyl having up to 4 carbon atoms,

R^3 and R^4 are identical or different and represent a straight-chain or branched alkyl chain having up to 5 carbon atoms, which is optionally substituted up to twice, identically or differently, by hydroxyl or methoxy,

or

R^3 and R^4 form, together with the nitrogen atom, a piperidinyl, morpholinyl, thiomorpholinyl ring or a radical of the formula



in which

5 R^7 denotes hydrogen, formyl, straight-chain or branched acyl or
alkoxycarbonyl having in each case up to 6 carbon atoms, or
denotes straight-chain or branched alkyl having up to 6 carbon
atoms which is optionally substituted once to twice, identically
or differently, by hydroxyl, carboxyl, straight-chain or
10 branched alkoxy or alkoxycarbonyl having in each case up to 6
carbon atoms, or denotes C_{3-8} -cycloalkyl,

15 and the heterocycles mentioned under R^3 and R^4 , which are formed
together with the nitrogen atom, are optionally substituted once to
twice, identically or differently, optionally also geminally, by
hydroxyl, formyl, carboxyl, straight-chain or branched acyl or
alkoxycarbonyl having in each case up to 6 carbon atoms,

20 and/or the heterocycles mentioned under R^3 and R^4 , which are formed
together with the nitrogen atom, are optionally substituted by straight-
chain or branched alkyl having up to 6 carbon atoms, which is
optionally substituted once to twice, identically or differently, by
hydroxyl or carboxyl,

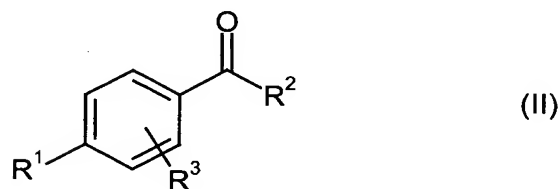
25 and/or the heterocycles mentioned under R^3 and R^4 , which are formed
together with the nitrogen atom, are optionally substituted by N-linked
piperidinyl or pyrrolidinyl,

R^5 and R^6 are identical or different and represent hydrogen, straight-chain or branched alkyl having up to 6 carbon atoms, hydroxyl or represent straight-chain or branched alkoxy having up to 6 carbon atoms,

5 and salts, isomers and/or hydrates thereof.

2. Composition according to Claim 1, comprising 2-{2-ethoxy-5-[(4-ethylpiperazin-1-yl)sulphonyl]phenyl}-5-methyl-7-propylimidazo[5,1-f][1,2,4]triazin-4(3H)-one or a salt, isomer and/or hydrate thereof as
10 cGMP PDE inhibitor.

3. Composition according to either of Claims 1 or 2, in which the local anaesthetic is selected from compounds of the formula (II)



15

in which

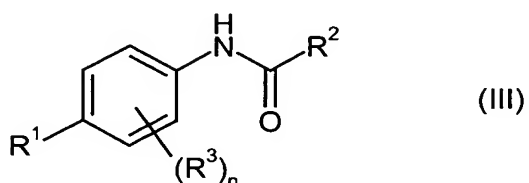
20 R^1 represents H, NH_2 , $NH(C_{1-6}\text{-alkyl})$, $O-C_{1-6}\text{-alkyl}$ or CH_2OPh ;

R^2 represents $O-C_{1-6}\text{-alkyl}$ which may optionally have a radical from the group consisting of $NH(C_{1-6}\text{-alkyl})$, $N(C_{1-6}\text{-alkyl})_2$ or a saturated 5- or six-membered heterocycle which contains at least one nitrogen atom and is linked via the latter, and optionally one or two further heteroatoms from the group consisting of N, O, S, and optionally carries one to three further $C_{1-6}\text{-alkyl}$ radicals, or
25 represents $(CH_2)_{1-6}\text{-Het}$, where Het represents a saturated 5- or six-membered heterocycle which contains at least one nitrogen atom and

is linked via the latter, and optionally one or two further heteroatoms from the group consisting of N, O, S, and optionally carries one to three further C₁₋₆-alkyl radicals;

5 R³ represents H, halogen or O-C₁₋₆-alkyl;

or compounds of the formula (III)



10

in which

R¹ represents H or OH;

15 R² represents C₁₋₆-alkyl-N(C₁₋₆-alkyl)₂ where the bridging alkyl chain may optionally carry one or more C₁₋₆-alkyl radicals, or represents a saturated 5- or six-membered heterocycle which contains at least one nitrogen atom and optionally one or two further heteroatoms from the group consisting of N, O, S, and optionally carries one to three further

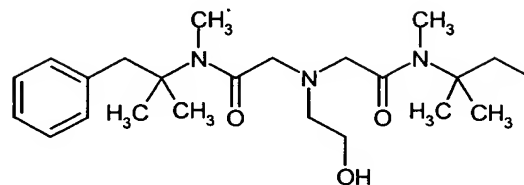
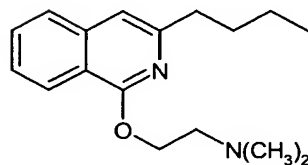
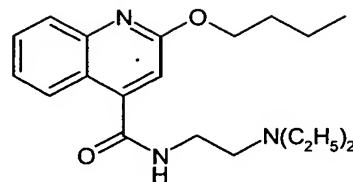
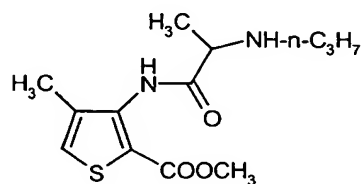
20 C₁₋₆-alkyl radicals,

R³ represents C₁₋₆-alkyl, halogen or COOC₁₋₆-alkyl;

n represents 1 or 2;

25

or a compound from the group consisting of



and polidocanol and benoxinate, and physiologically acceptable salts and/or hydrates thereof.

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4. Composition according to Claim 3, in which the local anaesthetic is selected from compounds of the formula (II)

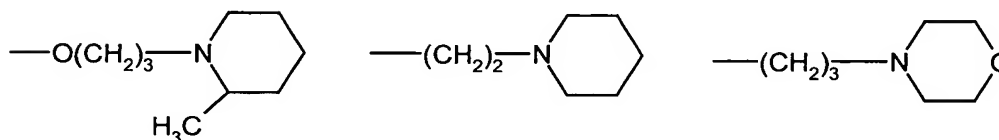
in which

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R^1 represents H, NH_2 , $NH-n-C_4H_9$, $O-n-C_3H_7$, $O-n-C_4H_9$ or CH_2OPh ;

R^2 represents OC_2H_5 , $O-n-C_4H_9$, $O-(CH_2)_2N(C_2H_5)_2$, $O(CH_2)_2N(CH_3)_2$, or a radical from the group consisting of

15



R^3 represents H, Cl, $O-n-C_3H_7$ or $O-n-C_4H_9$;

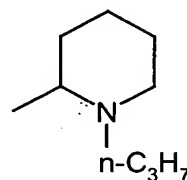
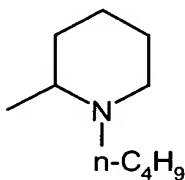
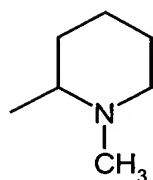
or compounds of the formula (III)

20

in which

R^1 represents H or OH;

5 R^2 represents $CH_2N(C_2H_5)_2$, $CHCH_3NH-n-C_3H_7$, $CH_2NH-n-C_4H_9$ or a radical from the group consisting of



10 R^3 represents CH_3 , Cl or $COOCH_3$;

n represents 1 or 2;

and benoxinate and physiologically acceptable salts and/or hydrates thereof.

- 15 5. Composition according to Claim 3, in which the local anaesthetic is selected from benzocaine, butambene, piperocaine, piperocaine hydrochloride, procaine, procaine hydrochloride, chlorprocaine, chlorprocaine hydrochloride, oxybuprocaine, oxybuprocaine hydrochloride, proxymetacaine, proxymetacaine hydrochloride, tetracaine, tetracaine hydrochloride, nirvanin, lidocaine, lidocaine hydrochloride, prilocaine, prilocaine hydrochloride, mepivacaine, mepivacaine hydrochloride, bupivacaine, bupivacaine hydrochloride, ropivacaine, ropivacaine hydrochloride, etidocaine, etidocaine hydrochloride, butanilicaine, butanilicaine hydrochloride, articaine, articaine hydrochloride, cinchocaine, cinchocaine hydrochloride, oxetacaine, oxetacaine hydrochloride, propipocaine, propipocaine hydrochloride, dyclonine, dyclonine hydrochloride, pramocaine, pramocaine hydrochloride, fomocaine, fomocaine
- 20
- 25

hydrochloride, quinisocaine, quinisocaine hydrochloride, benoxinate and polidocanol.

- 5 6. Composition according to Claim 3, in which the local anaesthetic is selected from the group consisting of benzocaine, lidocaine, tetracaine, benoxinate, polidocanol or their pharmaceutically acceptable salts.
- 10 7. Composition according to Claim 3, where the local anaesthetic is lidocaine hydrochloride or lidocaine methanesulphonate.
- 15 8. Composition according to any of Claims 1 to 7, where the local anaesthetic is present in a concentration of less than 4% (m/v).
9. Composition according to Claim 8, where the local anaesthetic is present in a concentration of less than 3% (m/v).
- 20 10. Composition according to any of Claims 1 to 9, where the cGMP PDE inhibitor is present in an amount of from 0.5 g/kg to 200 g/kg.
- 25 11. Composition according to any of Claims 1 to 10, additionally comprising solvents and one or more excipients from the group consisting of buffers or substances to adjust the pH, viscosity-increasing substances, preservatives, surfactants, solubilizers, tonicity agents, antioxidants, flavourings, substances to prolong the contact time and humectants.
- 30 12. Composition according to any of Claims 1 to 11, further comprising one or more excipients from the group consisting of buffers or substances to adjust the pH, viscosity-increasing substances, preservatives, surfactants, solubilizers, tonicity agents, antioxidants, flavourings, carriers, substances to prolong the contact time and humectants.

13. Composition according to any of Claims 1 to 12 for treating diseases.
14. Pharmaceutical composition for nasal administration, comprising a composition according to any of Claims 1 to 13.
- 5 15. Use of a composition according to any of Claims 1 to 14 for producing a medicinal product for treating male erectile dysfunction.
- 10 16. Use according to Claim 15, where the treatment takes place by nasal administration.
17. Nasal spray applicator comprising a composition according to any of Claims 1 to 14.
- 15 18. Nasal spray applicator according to Claim 17, which is a single-dose nasal spray applicator.
19. Powder insufflator comprising a composition according to any of Claims 1 to 14.
- 20 20. Powder insufflator according to Claim 19, which is a single-dose powder insufflator.